



INTERNATIONAL PRELIMINARY EXAMINATION REPORT
(PCT Article 36 and Rule 70)

Applicant's or agent's file reference 70189 PPD	FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/PEA/416)	
International application No. PCT/GB 03/05248	International filing date (day/month/year) 03.12.2003	Priority date (day/month/year) 23.12.2002
International Patent Classification (IPC) or both national classification and IPC C07D471/04, A01N43/90		
Applicant SYNGENTA LIMITED		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of 5 sheets, including this cover sheet.
- ☒ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).
- These annexes consist of a total of 7 sheets.

3. This report contains indications relating to the following items:

- I ☒ Basis of the opinion
- II ☐ Priority
- III ☐ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- IV ☒ Lack of unity of invention
- V ☒ Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- VI ☐ Certain documents cited
- VII ☐ Certain defects in the international application
- VIII ☐ Certain observations on the international application

Date of submission of the demand 01.06.2004	Date of completion of this report 06.05.2005
Name and mailing address of the International preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Authorized Officer Helps, I Telephone No. +49 89 2399-8209 

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I. Basis of the report

1. With regard to the elements of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)*):

Description, Pages

1-86 as originally filed

Claims, Numbers

1-7 received on 04.10.2004 with letter of 24.09.2004
8 (part), 9-12 filed with telefax on 19.04.2005

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
☐ the language of publication of the international application (under Rule 48.3(b)).
☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.
☐ filed together with the international application in computer readable form.
☐ furnished subsequently to this Authority in written form.
☐ furnished subsequently to this Authority in computer readable form.
☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- ☐ the description, pages:
☐ the claims, Nos.:
☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)).

(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)

6. Additional observations, if necessary:

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IV. Lack of unity of invention

1. In response to the invitation to restrict or pay additional fees, the applicant has:

- ☒ restricted the claims.
- ☐ paid additional fees.
- ☐ paid additional fees under protest.
- ☐ neither restricted nor paid additional fees.

2. ☐ This Authority found that the requirement of unity of invention is not complied with and chose, according to Rule 68.1, not to invite the applicant to restrict or pay additional fees.

3. This Authority considers that the requirement of unity of invention in accordance with Rules 13.1, 13.2 and 13.3 is

- ☐ complied with.
- ☐ not complied with for the following reasons:

4. Consequently, the following parts of the international application were the subject of international preliminary examination in establishing this report:

- ☒ all parts.
- ☐ the parts relating to claims Nos. .

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes: Claims	1-12
	No: Claims	
Inventive step (IS)	Yes: Claims	1-12
	No: Claims	
Industrial applicability (IA)	Yes: Claims	1-12
	No: Claims	

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2. Citations and explanations

see separate sheet

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V. CITATIONS AND EXPLANATIONS

The following documents are mentioned in this report.

Journal of Heterocyclic Chemistry, vol. 30, p.909-12 (1993)	(A)
Archiv der Pharmazie, vol. 62, p.136-53 (1957)	(B)
US-A-4,801,592	(C)
EP-A-0,410,762	(D)
US-A-5,821,244	(E)
US-A-6,117,884	(F)
US-A-5,955,473	(G)

The novel structural feature of the compounds of claim 1 is the presence of an aryl heteroaryl, morpholino, piperidino or pyrrolidino group at the 3-position of the 1,8-naphthyridine ring, together with a halogen group at the 2-position of the naphthyridine ring. The dependent claims 2-9, as well as claim 10 drawn to a process for the preparation of compounds of claim 1, claim 11 drawn to plant fungicides containing compounds of claim 1, and claim 12 drawn to methods of combatting fungi using compounds of claim 1 are novel by consequence. Claims 1 to 12 therefore meet the Novelty requirements of Article 33(2) PCT.

Documents (A) and (B) are no longer relevant because intermediate compounds are no longer claimed.

Document (C) describes 1,8-naphthyridines substituted at the 4-position by an amino or hydrazino group and their use as plant fungicides. These compounds are substituted at the 2-position by an alkyl group and at the 3-position by an alkyl or alkoxyalkyl group. Document (D) also describes naphthyridines as plant fungicides. These compounds may be substituted at the 4-position by an amino group, and also have an additional halogen substituent, (see general formula). However, there is no hint given in either of documents (C) or (D) that the presently claimed 4-amino-1,8-naphthyridine compounds, which have a halogen group at the 2-position as well as a cyclic group at the 3-position, would also have activity against phytopathogenic fungi. Documents (E) to (G) also disclose some 4-amino

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quinolines or naphthyridines as plant fungicides, but these compounds are also not structurally close to the presently claimed compounds. Inventive step (Article 33(3) PCT) is recognised because the problem of providing further naphthyridine derivatives for use as plant fungicides has been solved in a non obvious manner (see example 8).